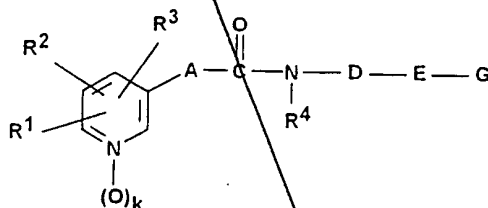


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CLAIMS

1. Compounds of the general formula (I)



(I)

wherein

R<sup>1</sup> is selected from hydrogen, hydroxy, halogen, cyano, aminocarbonyl, carboxy,

saturated, single or several-fold unsaturated, branched or straight chained or cyclic hydrocarbon residues such as alkyl, alkenyl, alkynyl or cycloalkyl,

aryl such as phenyl or heteroaryl such as pyridyl,

alkoxy, cycloalkyloxy, alkenyloxy or alkynyloxy or aralkyloxy such as the benzyloxy group, alkoxycarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkanoyloxy, alkoxycarbonyloxy, alkylthio, cycloalkylthio, alkenylthio, alkynylthio, aryloxy such as phenoxy, heteroaryloxy such as pyridyloxy, arylthio such as phenylthio, heteroarylthio such as pyridylthio,

trifluoromethyl,

hydroxyalkyl,

$NR^5R^6$ , wherein

*B1*  
*cont*  
 $R^5$  and  $R^6$  are selected independent of each other from hydrogen, saturated or unsaturated hydrocarbon residues such as alkyl, alkenyl, alkynyl, or aryl such as phenyl and aralkyl such as benzyl;

$R^2$  is selected from hydrogen, halogen, cyano, saturated hydrocarbon residues such as alkyl, or halogenated hydrocarbon residues such as trifluoromethyl, hydroxy, alkoxy, aralkyloxy residues such as benzyloxy, as well as alkanoyloxy,

whereby  $R^1$  and  $R^2$ , in the case that they are immediately adjacent to each other, optionally form a bridge which is selected from

$-(CH_2)_4-$  and  $-(CH=CH)_2-$  and  $-CH_2O-CR^7R^8-O-$ , wherein

$R^7$  and  $R^8$  are selected independently of each other from hydrogen and alkyl residues;

$R^3$  is selected from Hydrogen, halogen, saturated hydrocarbon residues such as alkyl, or halogenated hydrocarbon residues such as trifluoromethyl, or hydroxyalkyl;

$R^4$  is selected from hydrogen, hydroxy, or single or several-fold unsaturated, branched or straight chained or cyclic hydrocarbon residues such as alkyl, alkenyl, alkynyl or cycloalkyl, alkoxy and aralkyloxy such as benzyloxy;

$k$  is 0 or 1;

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A is selected from  
 Alkylene, which is optionally substituted one to three-fold by straight chained or branched chained hydrocarbon residues such as  
 alkyl, hydroxy, alkoxy, halogen such as fluorine, or aryl such as phenyl,

Alkylene, wherein a methylene unit is isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub> whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group and, in NR<sup>9</sup>, the residue R<sup>9</sup> is selected from hydrogen, alkyl, alkenyl, alkynyl, acyl or alkanesulfonyl;

Cycloalkylene such as 1,2-cyclopropylene;

Alkenylene which is optionally substituted one to three-fold by alkyl, hydroxy, alkoxy, fluorine cyano or aryl such as phenyl;

Alkadienylene, which is optionally substituted once or twice-fold by alkyl, fluorine, cyano or aryl such as phenyl, 1,3,5-hexatrienylene, which is optionally substituted by alkyl, fluorine, cyano or aryl such as phenyl, and

ethynylene;

D is selected from  
 alkylene, which is optionally substituted once or twice by alkyl, hydroxy, or alkoxy;

alkenylene, which is optionally substituted once or twice by alkyl, hydroxy, or alkoxy;

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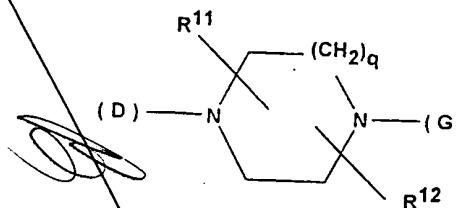
81  
cont

alkynylene, which is optionally substituted once or twice by alkyl, hydroxy, or alkoxy, as well as

alkylene, alkenylene or alkynylene, wherein one to three methylene units is each isosterically replaced by O, S, NR<sup>10</sup>, CO, SO or SO<sub>2</sub>, wherein

R<sup>10</sup> has the same meaning as R<sup>9</sup> but is selected independently thereof;

E



whereby

q is 1, 2 or 3;

R<sup>11</sup> is selected from hydrogen, alkyl, hydroxy, hydroxymethyl, carboxy, or alkoxycarbonyl and

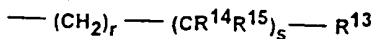
R<sup>12</sup> is selected from hydrogen, alkyl or an oxo group immediately adjacent to a nitrogen atom or

R<sup>11</sup> and R<sup>12</sup> optionally together, form an alkylene bridge under formation of a bicyclic ring systems;

G is selected from G<sup>1</sup>, G<sup>2</sup>, G<sup>3</sup>, G<sup>4</sup> or G<sup>5</sup>, wherein

G<sup>1</sup> is

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(G1)

whereby

r has the meaning 0 to 3,

s is 0 or 1;

*51 cont*

R<sup>13</sup> is selected from  
 hydrogen, alkyl, alkenyl, alkynyl, cycloalkyl;  
 saturated or unsaturated, four to eight-membered  
 heterocycles which can contain one or two hetero-atoms  
 that are selected from N and/or S and /or O;  
 benzyl, phenyl;  
 monocyclic aromatic five- or six-membered heterocycles  
 which can contain 1 to 3 hetero-atoms that are selected  
 from N and/or S and/or O and are either directly bound  
 or bound over a methylene group;

anellated bi- and tricyclic aromatic or partially  
 hydrated carbocyclic ring systems with 8 to 16 ring  
 atoms and at least one aromatic ring, whereby the bond  
 can occur either over an aromatic or a hydrated ring and  
 either directly or over a methylene group;

anellated bi- and tricyclic aromatic or partially  
 hydrated heterocyclic ring systems with 8 to 16 ring  
 atoms and at least one aromatic ring, whereby one to  
 three ring atoms can be selected from N and/or S and/or  
 O and the bond can occur either over an aromatic or a  
 hydrated ring and either directly or over a methylene  
 group;

R<sup>14</sup> has the same meaning as R<sup>13</sup> but is selected  
 independently thereof;

R<sup>15</sup> is selected from

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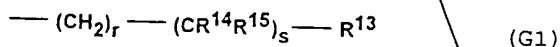
hydrogen, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkyl, aralkyl such as benzyl or aryl such as phenyl,

monocyclic aromatic five or six-membered heterocycles, which can contain one to three hetero-atoms selected from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

with the exception of compounds in which G has the meaning



in the case that the following substituents are simultaneously signify

R<sup>13</sup>

pyridyl or (optionally halogen-, alkyl-, alkoxy- or Trifluoromethyl-substituted) phenyl,

R<sup>14</sup>

hydrogen or (phenyl optionally substituted with halogen-, alkyl-, alkoxy- or Trifluoromethyl,

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R<sup>15</sup>

is hydrogen, and

A

represents alkylene, optionally substituted ethenylene or butadienylene,

D

alkylene or alkenylene as well as

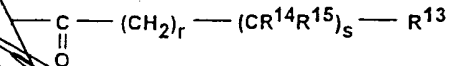
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piperazine or homopiperazine and

s = 1;

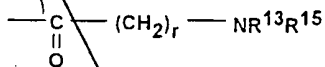
G<sup>2</sup>

is selected from



(G2a)

or



(G2b)

whereby r and s as well as the substituents R<sup>13</sup> to R<sup>15</sup> can have the above meaning, or the grouping



can also be a nitrogen heterocycle bound over the nitrogen atom selected from

saturated or unsaturated monocyclic, four to eight-membered heterocycles, which, aside from the essential nitrogen atom, can still optionally contain one or two further hetero-atoms selected from N and/or S and/or O, or

saturated or unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, that aside from the essential nitrogen atom, can optionally still contain one or two further hetero-atoms that are selected from N and/or S and/or O;

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B1  
cont

G<sup>3</sup> has the meaning  $\text{—SO}_2\text{—(CH}_2\text{)}_r\text{—R}^{13}$  (G3)

wherein r and R<sup>13</sup> have the above definition,

G<sup>4</sup> has the meaning



whereby

Ar<sup>1</sup> and Ar<sup>2</sup> can be selected independently from each other from phenyl, pyridyl or naphthyl;

G<sup>5</sup> has the meaning



whereby

R<sup>16</sup> is selected from trifluoromethyl, alkoxy, alkenyloxy, and aralkyloxy such as benzyloxy,

whereby aromatic ring systems in the substituents R<sup>1</sup>, R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup>, Ar<sup>1</sup> and Ar<sup>2</sup> and/or in the ring system  $\text{—NR}^{13}\text{R}^{15}$  can be substituted independently from each other by one to three of the same or different groups selected from

halogen, cyano, alkyl, halogen alkyl such as trifluoromethyl, cycloalkyl, aryl such as phenyl, arylalkyl such as benzyl; hydroxy, hydroxy alkyl, alkoxy, alkoxy entirely or partially substituted by fluorine, aralkyloxy such as benzyloxy, aryloxy such as phenoxy; mercapto, alkylthio, carboxy, carboxyalkyl, carboxyalkenyl, alkoxycarbonyl, aralkyloxycarbonyl such as benzyloxycarbonyl, nitro, amino, monoalkylamino, dialkylamino and in the case of two adjacent residues on the aromatic ring, also methylenedioxy, and

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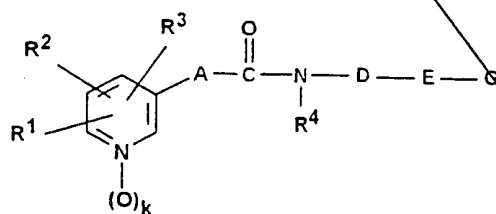
whereby alkyl-, alkenyl- and cycloalkyl residues in the groups  $G^1$ ,  $G^2$  and  $G^3$  can be substituted by one or two of the same or different groups which are selected from hydroxy, carboxy, alkoxycarbonyl, aralkyloxycarbonyl such as benzyloxycarbonyl, amino, monoalkylamino and dialkylamino;

their cis- and trans-isomers, E- and Z-isomers, especially in case that A is a cyclopropane ring or D contains one or more double bonds, including the enantiomers, diastereomers and other isomers as well as their racemic or non-racemic mixtures and the corresponding endo- and exo-isomers for the case that the ring system E is bicyclic;

their tautomeres;

their acid addition salts including their hydrates and solvates.

2. Pyridylalkane, pyridylalkene and pyridylalkine carboxamides of the formula (I)



(I)

wherein:

B'  
cont

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B'

*81 Cont*

R<sup>1</sup> is selected from hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>2</sub>-C<sub>6</sub>-alkinyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, C<sub>3</sub>-C<sub>6</sub>-alkinyloxy, benzyloxy, C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyloxy, C<sub>1</sub>-C<sub>6</sub>-alkylthio, C<sub>3</sub>-C<sub>6</sub>-alkenylthio, C<sub>3</sub>-C<sub>6</sub>-alkinylthio, C<sub>3</sub>-C<sub>8</sub>-cycloalkyloxy, C<sub>3</sub>-C<sub>8</sub>-cycloalkylthio, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>7</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>13</sub>-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, pyridylthio, and NR<sup>5</sup>R<sup>6</sup>, wherein

R<sup>5</sup> and R<sup>6</sup> are selected independently of each other from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, benzyl and phenyl;

R<sup>2</sup> is selected from hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy, benzyloxy and C<sub>1</sub>-C<sub>7</sub>-alkanoyloxy; R<sup>1</sup> and R<sup>2</sup>, if adjacent, optionally form a bridge selected from - (CH<sub>2</sub>)<sub>4</sub> - and - (CH=CH)<sub>2</sub> - or -CH<sub>2</sub>O-CR<sup>7</sup>R<sup>8</sup>-O-, wherein

R<sup>7</sup> and R<sup>8</sup> are selected independently from each other from hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl;

R<sup>3</sup> is selected from hydrogen, halogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl and C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl;

R<sup>4</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>6</sub>-cycloalkyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy and benzyloxy;

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k is 0 or 1,

A is selected from  
C<sub>1</sub>-C<sub>6</sub>-alkylene, optionally substituted one to three-fold  
by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine, or  
phenyl,

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is  
isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>,  
whereby, with the exception of CO, the isosteric  
substitution cannot be adjacent to the amide group and,  
in NR<sup>9</sup>, the residue R<sup>9</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-  
alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>1</sub>-C<sub>6</sub>-acyl or C<sub>1</sub>-  
C<sub>6</sub>-alkanesulfonyl,

1,2-cyclopropylene,

C<sub>2</sub>-C<sub>6</sub>-Alkenylene, optionally substituted once to three-  
fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, C<sub>1</sub>-C<sub>3</sub>-alkoxy, fluorine,  
cyano or phenyl,

C<sub>4</sub>-C<sub>6</sub>-alkadienylene, optionally substituted once to two-  
fold by C<sub>1</sub>-C<sub>3</sub>-alkyl, fluorine, cyano or phenyl;

1,3,5-hexatrienylene, optionally substituted by C<sub>1</sub>-C<sub>3</sub>-  
alkyl, fluorine, cyano or phenyl, and

ethinylene

D is selected from  
C<sub>2</sub>-C<sub>10</sub>-alkylene, optionally substituted once or twice by  
C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

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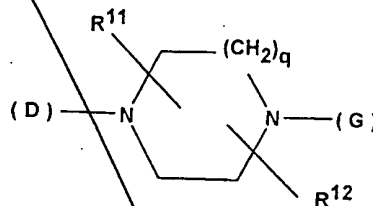
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C<sub>4</sub>-C<sub>10</sub>-alkenylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy;

C<sub>4</sub>-C<sub>10</sub>-alkynylene, optionally substituted once or twice by C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, or C<sub>1</sub>-C<sub>6</sub>-alkoxy; as well as

C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-alkynylene, in which one to three methylene units are isosterically replaced by O, S, NR<sup>10</sup>, CO, SO or SO<sub>2</sub>, whereby R<sup>10</sup> has the same meaning as R<sup>9</sup>, but is selected independently thereof;

E signifies



whereby

q has the meaning 1, 2 or 3;

R<sup>11</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, hydroxy, hydroxymethyl, carboxy, or C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl and

R<sup>12</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl or an oxo group adjacent to a nitrogen atom, or R<sup>11</sup> and R<sup>12</sup> optionally together, form a 1-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system;

G is selected from G<sub>1</sub>, G<sub>2</sub>, G<sub>3</sub>, G<sub>4</sub> or G<sub>5</sub>, whereby

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C1  
cont

G<sup>1</sup> represents  $-(CH_2)_r-(CR^{14}R^{15})_s-R^{13}$  (G1)

r has the meaning 0 to 3,

s is 0 or 1 and

R<sup>13</sup> is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl;

saturated or unsaturated, four to eight-membered heterocycles, which can contain one or two hetero-atoms that are selected from N and/or S and/or O; benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which can contain one to three hetero-atoms selected from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

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B1  
cont

R<sup>14</sup> has the same meaning as R<sup>13</sup>, but is selected independently thereof;

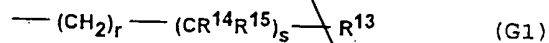
R<sup>15</sup> is selected from  
hydrogen, hydroxy, methyl, benzyl, phenyl,

monocyclic aromatic five or six-membered heterocycles, which can contain one to three hetero-atoms from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group,

whereby G in the form of G<sup>1</sup> cannot have the meaning



in the case that the following substitutions simultaneously signify

R<sup>13</sup> pyridyl or (optionally halogen-, alkyl-, alkoxy- or Trifluoromethyl- substituted) phenyl,

B'  
cont

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$R^{14}$  hydrogen or (phenyl optionally substituted with halogen-, alkyl-, alkoxy- or trifluoromethyl,

$R^{15}$  is hydrogen, and

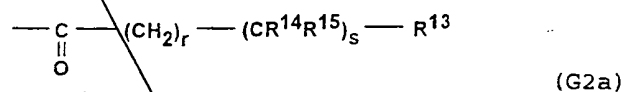
A represents alkylene, optionally substituted ethenylene or butadienylene,

D alkylene or alkenylene as well as

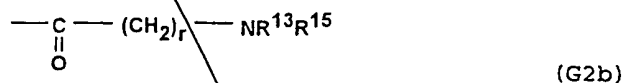
E piperazine or homopiperazine and

$s = 1$ ;

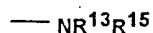
$G^2$  is selected from



or



whereby  $r$  and  $s$  as well as the substituents  $R^{13}$  to  $R^{15}$  can have the above meaning, or the grouping



can also be a nitrogen heterocycle bound over the nitrogen atom selected from

saturated or unsaturated monocyclic, four to eight-membered heterocycles, which, aside from the essential nitrogen atom, can optionally still contain one or two further hetero-atoms selected from N and/or S and/or O, or

saturated or unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, that aside from the essential nitrogen atom, can optionally still

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B1  
cont

contain one or two further hetero-atoms that are selected from N and/or S and/or O;

*B1 cont*  
G<sup>3</sup> has the meaning  $\text{—SO}_2\text{—(CH}_2\text{)}_r\text{—R}^{13}$  (G3)

wherein  $r$  and  $R^{13}$  have the above definition,

G<sup>4</sup> has the meaning



whereby

$\text{Ar}^1$  and  $\text{Ar}^2$  can be selected independently from each other from phenyl, pyridyl or naphthyl;

G<sup>5</sup> has the meaning  $\text{—COR}^{16}$  (G5)

$R^{16}$  is selected from trifluoromethyl,  $\text{C}_1\text{—C}_6\text{—alkoxy}$ ,  $\text{C}_3\text{—C}_6\text{—alkenyloxy}$ , and benzyloxy,

whereby aromatic ring systems in the substituents are  $R^1$ ,  $R^2$ ,  $R^4$ ,  $R^5$ ,  $R^6$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ ,  $R^{16}$ ,  $\text{Ar}^1$  and  $\text{Ar}^2$  and/or in the ring system  $\text{—NR}^{13}\text{R}^{15}$  can be substituted independently from each other by one to three of the same or different groups selected from halogen, cyano,  $\text{C}_1\text{—C}_6\text{—alkyl}$ , trifluoromethyl,  $\text{C}_3\text{—C}_8\text{—cycloalkyl}$ , phenyl, benzyl, hydroxy,  $\text{C}_1\text{—C}_6\text{—hydroxyalkyl}$ ,  $\text{C}_1\text{—C}_6\text{—alkoxy}$ ,  $\text{C}_1\text{—C}_6\text{—alkoxy}$  entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $\text{C}_1\text{—C}_6\text{—alkylthio}$ , carboxy,  $\text{C}_2\text{—C}_7\text{—carboxyalkyl}$ ,  $\text{C}_2\text{—C}_7\text{—carboxyalkenyl}$ ,  $\text{C}_2\text{—C}_7\text{—alkoxycarbonyl}$ , benzyloxycarbonyl, nitro, amino, mono- $\text{C}_1\text{—C}_6\text{—}$

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alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and, methylene dioxide for two adjacent residues on the aromatic ring, and whereby

alkyl-, alkenyl- and cycloalkyl residues in the groups G<sup>1</sup>, G<sup>2</sup> and G<sup>3</sup> can be substituted by one or two of the same or different groups which are selected from hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl-amino);

their cis- and trans-isomers, E- and Z-isomers, especially in case that A is a cyclopropane ring or D contains one or more double bonds, including the enantiomers, diastereomers and other isomers as well as their racemic or non-racemic mixtures and the corresponding endo- and exo-isomers for the case that the ring system E is bicyclic;

their tautomeres; as well as

their acid addition salts including their hydrates and solvates.

3. Compound according to the claims 1 and 2, wherein the

R<sup>1</sup> is selected from hydrogen, halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, benzyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>1</sub>-C<sub>5</sub>-alkanoyloxy, C<sub>1</sub>-C<sub>4</sub>-alkylthio, C<sub>2</sub>-C<sub>5</sub>-alkoxycarbonyl, aminocarbonyl, C<sub>2</sub>-C<sub>5</sub>-alkylaminocarbonyl, C<sub>3</sub>-C<sub>9</sub>-dialkylaminocarbonyl, carboxy, phenyl, phenoxy, phenylthio, pyridyloxy, and NR<sup>5</sup>R<sup>6</sup>, whereby

R<sup>5</sup> and R<sup>6</sup> are selected independently from each other from hydrogen and C<sub>1</sub>-C<sub>6</sub>-alkyl;

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B<sup>1</sup>  
cont

- B<sup>1</sup>  
cont*
- 09596001-061600*
- $R^2$  is selected from  
hydrogen, halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  
hydroxy,  $C_1$ - $C_4$ -alkoxy;
- $R^3$  is selected from  
hydrogen, halogen and  $C_1$ - $C_6$ -alkyl;
- $R^4$  is selected from  
hydrogen,  $C_1$ - $C_6$ -alkyl,  $C_3$ - $C_6$ -alkenyl,  $C_3$ - $C_6$ -cycloalkyl,  
hydroxy,  $C_1$ - $C_6$ -alkoxy and benzyloxy;
- $k$  has the meaning 0 or 1,
- $A$  is selected from  
 $C_1$ - $C_6$ -alkylene, optionally substituted one to three-fold  
by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, or phenyl,  
  
 $C_2$ - $C_6$ -alkylene, in which a methylene unit is  
isosterically replaced by O, S,  $NR^9$ , CO, SO or  $SO_2$ ,  
whereby, with the exception of CO, the isosteric  
substitution cannot be adjacent to the amide group and,  
in  $NR^9$ , the residue  $R^9$  is selected from hydrogen,  $C_1$ - $C_6$ -  
alkyl,  $C_1$ - $C_6$ -acyl or methane sulfonyl;  
  
1,2-cyclopropylene,  
  
 $C_2$ - $C_6$ -Alkenylene, optionally substituted once to three-  
fold by  $C_1$ - $C_3$ -alkyl, hydroxy, fluorine, cyano or phenyl,  
  
 $C_4$ - $C_6$ -alkadienylene, optionally substituted once to two-  
fold by  $C_1$ - $C_3$ -alkyl, fluorine, cyano or phenyl;  
  
1,3,5-hexatrienylene, optionally substituted by  $C_1$ - $C_3$ -  
alkyl, fluorine, cyano, and

ethynylene.

D is selected from  
C<sub>2</sub>-C<sub>10</sub>-alkylene, optionally substituted once or twice by  
C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy;

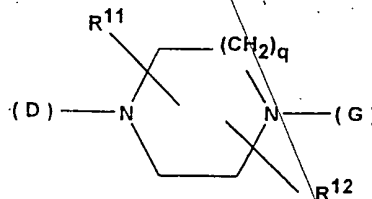
C<sub>4</sub>-C<sub>10</sub>-alkenylene, optionally substituted once or twice  
by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy;

C<sub>4</sub>-C<sub>10</sub>-alkynylene, optionally substituted once or twice  
by C<sub>1</sub>-C<sub>3</sub>-alkyl or hydroxy; as well as

C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>4</sub>-C<sub>10</sub>-alkenylene or C<sub>4</sub>-C<sub>10</sub>-alkynylene,  
in which one to three methylene units is each  
isosterically replaced by O, S, NR<sup>10</sup>, CO, SO or SO<sub>2</sub>,  
whereby

R<sup>10</sup> has the same meaning as R<sup>9</sup>, but is selected  
independently thereof;

E signifies



whereby

q has the meaning 1, 2 or 3;

R<sup>11</sup> is selected from  
hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy, hydroxymethyl, carboxy,  
or C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl and

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B'  
cont

*B' cont*

R<sup>12</sup> is selected from hydrogen or an oxo group adjacent to a nitrogen atom or

R<sup>11</sup> and R<sup>12</sup>, optionally together, form a C<sub>1</sub>-C<sub>3</sub>-alkylene bridge under formation of a bicyclic ring system;

G is selected from G<sub>1</sub>, G<sub>2</sub>, G<sub>3</sub>, G<sub>4</sub> or G<sub>5</sub>, whereby

G<sub>1</sub> represents  $\text{---}(\text{CH}_2)_r\text{---}(\text{CR}^{14}\text{R}^{15})_s\text{---R}^{13}$  (G<sub>1</sub>)

r has the meaning 0 to 2,

s is 0 or 1 and

R<sup>13</sup> is selected from

hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>6</sub>-alkenyl, C<sub>3</sub>-C<sub>6</sub>-alkinyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which can contain one to three hetero-atoms selected from the group N and/or S and/or O and are either bound directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic ring

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or a hydrated ring and either directly or over a methylene group,

*B1*  
*cont*  
R<sup>14</sup> has the same meaning as R<sup>13</sup>, but is selected independently thereof;

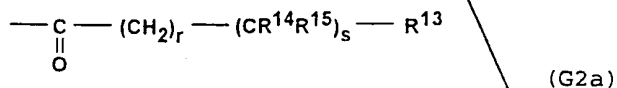
R<sup>15</sup> is selected from  
hydrogen, hydroxy, methyl, benzyl, phenyl;

monocyclic aromatic five or six-membered heterocycles, which can contain one to three hetero-atoms selected from the group N and/or S and/or O and are either bound directly or over a methylene group,

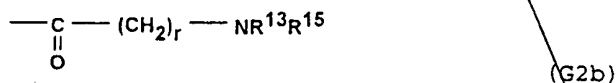
anellated bi- and tricyclic aromatic or partially hydrated carbocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein the linkage can occur either over an aromatic or a hydrated ring and either directly or over a methylene group,

anellated bi- and tricyclic aromatic or partially hydrated heterocyclic ring systems with 8 to 16 ring atoms and at least one aromatic ring, wherein one to three ring atoms can be selected from N and/or S and/or O and the linkage can occur either over an aromatic ring or a hydrated ring and either directly or over a methylene group;

G<sup>2</sup> is selected from



or



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whereby r and s as well as the substituents  $R^{13}$  to  $R^{15}$  can have the above meaning, or the grouping



can also be a nitrogen heterocycle bound over the nitrogen atom selected from

saturated or unsaturated monocyclic, four to eight-membered heterocycles, which, aside from the essential nitrogen atom, can optionally still contain one or two further hetero-atoms selected from N and/or S and/or O, or

saturated or unsaturated bi- or tricyclic, anellated or bridged heterocycles with 8 to 16 ring atoms, that aside from the essential nitrogen atom, can optionally still contain one or two further hetero-atoms that are selected from N and/or S and/or O;

$G^3$  has the meaning  $-SO_2-(CH_2)_r-R^{13}$  (G3)

wherein r and  $R^{13}$  have the above definition,

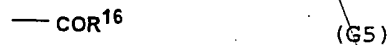
$G^4$  has the meaning



whereby

$Ar^1$  and  $Ar^2$  can be selected independently from each other from phenyl, pyridyl or naphthyl;

$G^5$  has the meaning



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B'  
cont

195  
R<sup>16</sup> is selected from  
trifluoromethyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>3</sub>-C<sub>6</sub>-alkenyloxy, and  
benzyloxy,

B<sup>1</sup>  
Cont  
whereby aromatic ring systems in the substituents are R<sup>1</sup>,  
R<sup>2</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, R<sup>13</sup>, R<sup>14</sup>, R<sup>15</sup>, R<sup>16</sup>, Ar<sup>1</sup> and Ar<sup>2</sup> and/or in the  
ring system — NR<sup>13</sup>R<sup>15</sup> can be substituted independently from  
each other by one to three of the same or different groups  
selected from

halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-  
cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-  
C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by  
fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio,  
carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-  
alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-  
alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and, methylene dioxide in  
the case of two adjacent residues on the aromatic ring,

whereby alkyl-, alkenyl- and cycloalkyl residues in the  
groups G<sup>1</sup>, G<sup>2</sup> and G<sup>3</sup> can be substituted by one or two of the  
same or different groups which are selected from  
hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl,  
amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl-amino):

a  
4. Compounds according to claims ~~1-3~~, <sup>wherein</sup> ~~characterized in that~~  
^

R<sup>1</sup> is selected from  
hydrogen, halogen, cyano, methyl, ethyl,  
trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, benzyloxy, C<sub>1</sub>-  
C<sub>5</sub>-alkanoyloxy, methylthio, ethylthio, methoxycarbonyl,  
tert-butoxycarbonyl aminocarbonyl, carboxy, phenoxy, and  
phenylthio

R<sup>2</sup> is selected from

hydrogen, halogen, trifluoromethyl, hydroxy;

R<sup>3</sup> is selected from  
hydrogen, halogen;

R<sup>4</sup> is selected from  
hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, allyl, hydroxy and, C<sub>1</sub>-C<sub>3</sub>-alkoxy;

k is 0 or 1,

A is selected from  
C<sub>1</sub>-C<sub>6</sub>-alkylene, optionally substituted once or twice by  
C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxy or fluorine;

C<sub>2</sub>-C<sub>6</sub>-alkylene, in which a methylene unit is  
isosterically replaced by O, S, NR<sup>9</sup>, CO, SO or SO<sub>2</sub>,  
whereby, with the exception of CO, the isosteric  
substitution cannot be adjacent to the amide group,

C<sub>2</sub>-C<sub>6</sub>-alkylene, optionally substituted once or twice by  
C<sub>1</sub>-C<sub>3</sub> alkyl, hydroxy and/or fluorine;

C<sub>4</sub>-C<sub>6</sub>-alkadienylene, optionally substituted by C<sub>1</sub>-C<sub>3</sub>-  
alkyl or one or two fluorine atoms;

1,3,5-hexatrienylene, optionally substituted by,  
fluorine;

D is selected from  
C<sub>2</sub>-C<sub>8</sub>-alkylene, optionally substituted once or twice by  
methyl or hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkenylene, optionally substituted once or twice  
by methyl or hydroxy;

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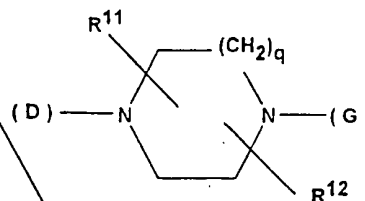


C<sub>4</sub>-C<sub>8</sub>-alkynylene, optionally substituted once or twice by methyl or hydroxy; and

C<sub>2</sub>-C<sub>8</sub>-alkylene, C<sub>4</sub>-C<sub>8</sub>-alkenylene or C<sub>4</sub>-C<sub>8</sub>-alkynylene, wherein one to three methylene units are each isosterically replaced by O, S, NH, N(CH<sub>3</sub>), N(COCH<sub>3</sub>), N(SO<sub>2</sub>CH<sub>3</sub>), CO, SO or SO<sub>2</sub>, whereby

B1  
cont

E has the meaning



whereby

q is 1 or 2;

R<sup>11</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl, hydroxymethyl, or carboxy, and

R<sup>12</sup> is selected from hydrogen or an oxo group adjacent to a nitrogen atom

G is selected from G<sub>1</sub>, G<sub>2</sub>, G<sub>3</sub>, G<sub>4</sub> or G<sub>5</sub>, whereby

G<sub>1</sub>  $\text{---} (\text{CH}_2)_r \text{---} (\text{CR}^{14}\text{R}^{15})_s \text{---} \text{R}^{13}$  (G<sub>1</sub>)  
represents

r is 0 to 2 and,

s is 0 or 1; and

R<sup>13</sup> is selected from

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hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl; benzyl, phenyl;

benzocyclobutyl, indanyl, indenyl, oxoindanyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, oxotetrahydronaphthyl, biphenylenyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxodihydrophenanthryl, dibenzocycloheptenyl, oxodibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptenyl, dihydrodibenzocyclooctenyl, tetrahydrodibenzocyclooctenyl or oxotetrahydrodibenzocyclooctenyl bound directly or over a methylene group;

furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, iso-thiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, imidazothiazolyl, benzofuryl, dihydrobenzofuryl, benzothienyl, dihydrobenzothienyl, indolyl, indolinyl, isoindolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzooisoxazolyl, oxobenzooisoxazolyl, benzothiazolyl, oxobenzothiazolyl, benzoisothiazolyl, oxobenzoisothiazolyl, benzoimidazolyl, oxobenzimidazolyl, indazolyl, oxoindazolyl, benzofurazanyl, benzothiadiazolyl, benzotriazolyl, oxazolopyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, isothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, pyrazolopyridyl, oxodihydropyrazolopyridyl, thienopyrimidinyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinoloyl, isoquinoloyl, dihydroquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinoxalyl, quinazolyl, naphthyridinyl, carbazolyl, tetrahydrocarbazolyl, oxotetrahydrocarbazolyl, pyridoindolyl, acridinyl, oxodihydroacridinyl, phenanthridinyl, dihydrophenanthridinyl,

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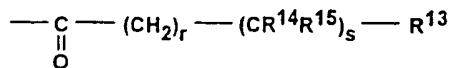
oxodihydrophenanthridinyl, dibenzoisoquinolinyl, dihydrodibenzoisoquinolinyl, oxodihydrodibenzoisoquinolinyl, phenothiazinyl, dihydrodibenzooxepinyl, oxodihydrodibenzooxepinyl, benzocycloheptathienyl, oxobenzocycloheptathienyl, dihydrothienobenzothiepinyl, oxodihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, octahydrodibenzothiepinyl, dibenzoazepinyl, dihydrodibenzoazepinyl, oxodihydrodibenzoazepinyl, octahydrodibenzoazepinyl, benzocycloheptapyridyl, oxobenzocycloheptapyridyl, pyridobenzoazepinyl, dihydropyridobenzoazepinyl, oxodihydropyridobenzoazepinyl, dihydropyridobenzo-diazepinyl, dihydrodibenzooxazepinyl, dihydropyridobenzo-oxazepinyl, dihydropyridobenzo-oxazepinyl, oxodihydropyridobenzo-oxazepinyl, dihydrodibenzothiazepinyl, oxodihydrodibenzothiazepinyl, dihydropyridobenzothiazepinyl or oxodihydropyridobenzothiazepinyl bound directly or over a methylene group;

R<sup>14</sup> is synonymous with R<sup>13</sup> but is selected independent thereof;

R<sup>15</sup> is selected from

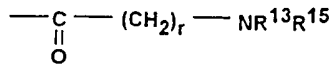
hydroxy, methyl, benzyl, phenyl, indanyl, indenyl, naphthyl, dihydronaphthyl, tetrahydronaphthyl, furyl, thienyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, pyrazolyl, imidazolyl, oxadiazolyl, thiadiazolyl, triazolyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, triazinyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzooxazolyl, benzothiazolyl, benzoimidazolyl, chromanyl, quinolyl or tetrahydroquinolyl bound directly or over a methylene group;

G<sup>2</sup> is selected from



(G2a)

or



(G2b)

whereby  $r$  and  $s$  and the substituents  $\text{R}^{13}$  to  $\text{R}^{15}$  can have the above meaning, or the grouping

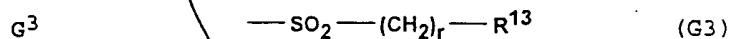


represents the ring of azetidine bound over the nitrogen or one of the following residues: pyrrolidine, piperidine, (1H)-tetrahydropyridine, hexahydroazepine, (1H)-tetrahydroazepine, octahydroazocine, pyrazolidine, piperazine, hexahydrodiazepine, morpholine, hexahydro-oxazepine, thiomorpholine, thiomorpholin-1,1-dioxide, of 5-aza-bicyclo-[2.1.1]hexane, 2-aza-bicyclo[2.2.1]heptane, 7-aza-bicyclo-[2.2.1]heptane, 2,5-diaza-bicyclo[2.2.1]heptane, 2-aza-bicyclo[2.2.2]octane, 8-aza-bicyclo[3.2.1]octane, 2,5-diaza-bicyclo[2.2.2]octane, 9-aza-bicyclo[3.3.1]nonane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinolin, (2H)-tetrahydroisoquinoline, (1H)-tetrahydroquinoxaline, (4H)-dihydrobenzooxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[c]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]ox-azepine, (5H)-tetrahydrobenzo[b]thiazepine, 1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indole, (10H)-dihydroacridine, (10H)-dihydrophenanthridine, 1,2,3,4-tetrahydroacridanone, (10H)-phenoxazine, (10H)-phenothiazine, (5H)-dibenzoazepine, (5H)-dihydrodibenzoazepine, (5H)-octahydrodibenzoazepine, dihydrobenzo[d,e]isoquinoline, (5H)-dihydrodibenzodiazepine, (5H)-benzo[b]pyrido-

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[f]azepine, (5H)-Dihydrobenzo[b]pyri-do[f]azepine, (11H)-Dihydrodibenzo[b,e]oxazepine, (11H)-dihydrodibenzo[b,e]thiazepine, (10H)-dihydrodibenzo[b,f]-oxazepine, (10H)-dihydrodibenzo[b,f]thiazepine, (5H)-tetra-hydrodibenzoazocine, (11H)-dihydrobenzo[e]pyrido[b]-1,4-diazepin-6-one or (11H)-Dihydrobenzo[b]pyrido[e]-1,4-diazepin-5-one.



wherein  $r$  and  $R^{13}$  have the above definition,

$G^4$  has the meaning



whereby

$\text{Ar}^1$  and  $\text{Ar}^2$  are selected independently from each other from phenyl, pyridyl or naphthyl;

$G^5$  has the meaning



$R^{16}$  is selected from trifluoromethyl,  $C_1$ - $C_6$ -alkoxy,  $C_3$ - $C_6$ -alkenyloxy, and benzyloxy,

whereby aromatic ring systems in the substituents can be substituted independently from each other by one to three of the same or different groups selected from halogen, cyano,  $C_1$ - $C_6$ -alkyl, trifluoromethyl,  $C_3$ - $C_8$ -cycloalkyl, phenyl, benzyl, hydroxy,  $C_1$ - $C_6$ -hydroxyalkyl,  $C_1$ - $C_6$ -alkoxy,  $C_1$ - $C_6$ -alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto,  $C_1$ - $C_6$ -alkylthio,

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B1  
cont

carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino, di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino and, methylene dioxide in the case of two adjacent residues on the aromatic ring, and

whereby alkyl-, alkenyl- and cycloalkyl residues in the groups G<sup>1</sup>, G<sup>2</sup> and G<sup>3</sup> can be substituted by one or two of the same or different groups which are selected from hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl-amino).

5. Compounds according to claims 1-4, wherein

R<sup>1</sup> is selected from hydrogen, fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkoxy, methylthio, ethylthio, carboxy and phenoxy;

R<sup>2</sup> is selected from hydrogen, chlorine and methyl;

R<sup>3</sup> is hydrogen;

R<sup>4</sup> is selected from hydrogen, C<sub>1</sub>-C<sub>3</sub>-alkyl and hydroxy,

k is 0

A is selected from C<sub>2</sub>-C<sub>6</sub>-alkylene, which is optionally substituted once or twice by hydroxy or fluorine;

C<sub>2</sub>-C<sub>6</sub>-alkylene, wherein a methylene unit is isosterically replaced by O, S or CO, whereby, with the exception of CO, the isosteric substitution cannot be adjacent to the amide group;

C<sub>2</sub>-C<sub>6</sub>-alkenylene which is optionally substituted by C<sub>1</sub>-C<sub>3</sub>-alkyl and/or fluorine;

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B1  
cont

C<sub>4</sub>-C<sub>6</sub>-alkadienylene;

- B<sup>1</sup>  
cont*
- D is selected from C<sub>2</sub>-C<sub>8</sub>-alkylene which is optionally substituted by methyl or hydroxy;

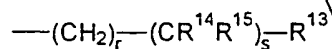
C<sub>4</sub>-C<sub>8</sub>-alkenylene, which is optionally substituted by hydroxy;

C<sub>4</sub>-C<sub>8</sub>-alkynylene, which is optionally substituted by hydroxy;

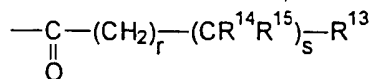
C<sub>2</sub>-C<sub>8</sub>-alkylene, C<sub>4</sub>-C<sub>8</sub>-alkenylene, C<sub>4</sub>-C<sub>8</sub>-alkynylene wherein a methylene unit is respectively isosterically replaced by O, NH, N(CH<sub>3</sub>), CO or SO<sub>2</sub> or an ethylene group is isosterically replaced by a group NH-CO and/or CO-NH or a propylene group is isosterically replaced by a group NH-CO-O and/or O-CO-NH;

- E is selected from piperazine or hexahydro-1,4-diazepine (homopiperazine), wherein the ring can be optionally substituted by one or two methylene groups and/or by an oxo group adjacent to a nitrogen atom;

- G is selected from hydrogen, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, methoxycarbonyl, tert-butoxycarbonyl, benzyloxycarbonyl, trifluoroacetyl, diphenylphosphinoyl, or a group

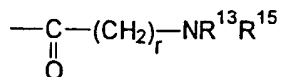


and

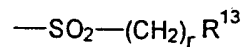


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and



and



wherein

r has the meaning 0 or 1

s is 0 or 1,

R<sup>13</sup> is selected from hydrogen, methyl, benzyl, phenyl,

indanyl, indenyl, oxoindanyl, naphthyl, tetrahydronaphthyl, fluorenyl, oxofluorenyl, anthryl, dihydroanthryl, oxodihydroanthryl, dioxodihydroanthryl, phenanthryl, dihydrophenanthryl, oxydihydrophenanthryl, dibenzocycloheptenyl, dihydrodibenzocycloheptenyl, oxodihydrodibenzocycloheptyl bound directly or over a methylene group,

furyl, thienyl, oxazolyl, isooxazolyl, thiazolyl, imidazolyl, oxadiazolyl, pyridyl, pyrazinyl, pyrimidinyl, imidazothiazolyl, benzofuryl, benzothienyl, indolyl, indolinyl, oxoindolinyl, dioxoindolinyl, benzoxazolyl, oxobenzoxazolyl, benzothiazolyl, oxobenzthiazolyl, benzimidazolyl, oxobenzimidazolyl, indazolyl, benzofurazanyl, benzotriazolyl, oxazolo-pyridyl, oxodihydrooxazolopyridyl, thiazolopyridyl, oxodihydrothiazolopyridyl, imidazopyridyl, oxodihydroimidazopyridyl, chromanyl, chromanonyl, benzopyranyl, chromonyl, quinolyl, isoquinolyl, oxodihydroquinolyl, tetrahydroquinolyl, oxotetrahydroquinolyl, benzodioxanyl, quinazolinyl,

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carbazolyl, acridinyl, dihydroacridinyl, oxodihydroacridinyl, dihydrophenanthridinyl dihydrobenzoisoquinolinyl, phenothiazinyl, dihydrodibenzooxepinyl, benzocycloheptathienyl, dihydrothienobenzothiepinyl, dihydrodibenzothiepinyl, oxodihydrodibenzothiepinyl, dihydrodibenzoazepinyl, oxodihydrodibenzoazepinyl, octahydrodibenzoazepinyl, benzocycloheptapyridyl, dihydropyridobenzodiazepinyl, dihydrodibenzothiazepinyl bound directly or over a methylene group,

R<sup>14</sup> is selected from hydrogen, methyl, benzyl, phenyl;

R<sup>15</sup> is selected from hydrogen, hydroxy, methyl, benzyl, phenyl;

naphthyl, tetrahydronaphthyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyridyl, benzofuryl, benzothienyl, indolyl, indolinyl, benzoxazolyl, benzothiazolyl, benzimidazolyl, chromanyl, quinolyl or tetrahydroquinolyl bound directly or over a methylene group;

whereby the grouping -NR<sup>13</sup>R<sup>15</sup> represents a ring bound over the nitrogen atom of a residue from the series

pyrrolidine, piperidine, hexahydroazepine, piperazine, hexahydrodiazepine, morpholine, hexahydroxazepine, thiomorpholine, 7-aza-bicyclo[2,2,1]heptane, 2,5-diaza-bicyclo[2,2,1]heptane, indoline, isoindoline, (1H)-dihydroquinoline, (1H)-tetrahydroquinoline, (2H)-tetrahydroisoquinoline, (4H)-dihydrobenzoxazine, (4H)-dihydrobenzothiazine, (1H)-tetrahydrobenzo[b]azepine, (1H)-tetrahydrobenzo[d]azepine, (5H)-tetrahydrobenzo[b]oxazepine, (5H)-tetrahydrobenzo[b]thiazepine, (10H)-dihydroacridine, 1,2,3,4-tetrahydroacridanone, (10H)-dihydrophenanthridine, (1H)-dihydrobenzo[d,e]isoquinoline, (10H)-phenothiazine, (5H)-dibenzo[b,f]azepine, (5H)-dihydrodibenzo[b,f]azepine,

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(5H)-dihydrodibenzo[c,e]azepine, (5H)-dihydrodibenzo-diazepine, (11H)-dihydrodibenzo[b,e]oxazepine (11H)-dihydrodibenzo[b,e]thiazepine, (5H)-dihydrobenzo[b]pyrido[3,2-f]azepine and (11H)-6-oxodihydrobenzo[e]pyrido[3,2-b][1,4]diazepine, and whereby

aromatic ring systems in the substituents can be substituted, independently of each other, by one to three of the same or different groups selected from halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy which can be entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carbocycloalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino, and in the case of two adjacent residues on the aromatic ring, methylenedioxy, and

whereby alkyl, alkenyl and cycloalkyl residues in the group G can be substituted by one or two of the same or different groups which are selected from hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino and di-(C<sub>1</sub>-C<sub>6</sub>-alkyl) amino.

6. Compounds according to the claims 1-5, wherein R<sup>1</sup> is selected from hydrogen, fluorine, methyl, trifluoromethyl ethylthio;

R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are each hydrogen;

k has the meaning 0,

A is selected from

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ethylene, propylene or butylene which are each optionally substituted by hydroxy or one or two fluorine atoms; or  $\text{OCH}_2$ ,  $\text{SCH}_2$ ;

ethenylene, or 1,3-butadienylene;

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*cont*  
D is selected from  $\text{C}_2$ - $\text{C}_6$ -alkylene which is optionally substituted by hydroxy;

$\text{C}_4$ - $\text{C}_6$  alkenylene;

$\text{C}_4$ - $\text{C}_6$  alkynylene; or

$\text{C}_2$ - $\text{C}_6$  alkylene,  $\text{C}_4$ - $\text{C}_6$  alkenylene or  $\text{C}_4$ - $\text{C}_6$  alkynylene, wherein one or two methylene units is isosterically replaced by O, NH, CO or  $\text{SO}_2$ ;

E is selected from piperazine or hexahydro-1,4-diazeazepine;

G is selected from phenyl, benzyl, phenethyl, diphenylmethyl, naphthyl, tetrahydronaphthyl, naphthylmethyl, fluorenyl, fluorenylmethyl, anthrylmethyl, dihydrodibenzo-cycloheptenyl;

furylmethyl, thienylmethyl, thiazolylmethyl, pyridylmethyl, benzothienylmethyl, quinolylmethyl, phenylthienylmethyl, phenylpyridylmethyl, benzocycloheptapyridinyl, dihydrobenzocycloheptapyridinyl, dihydrodibenzooxepinyl, dihydrodibenzothiepinyl, dihydrodibenzoazepinyl, dihydrobenzopyridodiazepinyl;

formyl, acetyl, pivaloyl, phenylacetyl, diphenylacetyl,

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diphenylpropionyl, naphthylacetyl, benzoyl, naphthoyl, oxofluorenylcarbonyl, oxodihydroanthrylcarbonyl, dioxodihydroanthrylcarbonyl,

furoyl, pyridylacetyl, pyridylcarbonyl, chromonylcarbonyl, quinolylcarbonyl,

phenylaminocarbonyl, naphthylaminocarbonyl, tetrahydronaphthylaminocarbonyl, dibenzylaminocarbonyl, benzylphenylaminocarbonyl, diphenylaminocarbonyl, indolinyl-N-carbonyl, isoindolin-N-carbonyl, tetrahydroquinolinyl-N-carbonyl, carbazolyl-N-carbonyl, tetrahydrobenzoazepinyl-N-carbonyl, dihydrodibenzoazepin-N-carbonyl, dihydrobenzopyridoazepinyl-N-carbonyl, oxodihydrobenzopyridoazepinyl-N-carbonyl;

methanesulfonyl, toluenesulfonyl, naphthylsulfonyl, quinolinsulfonyl and

diphenylphosphinoyl,

wherein aromatic ring systems can be substituted independently of each other by one to three of the same or different groups which are selected from halogen, cyano, C<sub>1</sub>-C<sub>6</sub>-alkyl, trifluoromethyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, phenyl, benzyl, hydroxy, C<sub>1</sub>-C<sub>6</sub>-hydroxyalkyl, C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkoxy entirely or partially substituted by fluorine, benzyloxy, phenoxy, mercapto, C<sub>1</sub>-C<sub>6</sub>-alkylthio, carboxy, C<sub>2</sub>-C<sub>7</sub>-carboxyalkyl, C<sub>2</sub>-C<sub>7</sub>-carboxyalkenyl, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, nitro, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino, and in the case of two adjacent residues in the aromatic ring methylenedioxy, and whereby

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alkyl, alkenyl and cycloalkyl residues in the group G can be substituted by one or two of the same or different groups which are selected from

hydroxy, carboxy, C<sub>2</sub>-C<sub>7</sub>-alkoxycarbonyl, benzyloxycarbonyl, amino, mono-C<sub>1</sub>-C<sub>6</sub>-alkylamino or di-(C<sub>1</sub>-C<sub>6</sub>-alkyl)-amino.

7. Compounds according to formula (I) according to claim 1 or 2, ~~characterized in that~~ <sup>wherein</sup> they are present in the form of the following compounds:

N-[4-(4-diphenylmethylpiperazin-1-yl)-3-hydroxybutyl]-3-pyridin-3-yl-acrylamide;  
 N-[3-(4-diphenylmethylpiperazin-1-yl)-propoxy]-3-pyridin-3-yl-acrylamide;  
 N-[4-(4-diphenylmethylpiperazin-1-yl)-4-oxo-butyl]-3-pyridin-3-yl-acrylamide;  
 N-[3-(4-diphenylmethylpiperazin-1-sulfonyl)-propyl]-3-pyridin-3-yl-acrylamide;  
 N-{2-[2-(4-diphenylmethylpiperazin-1-yl)-ethoxy]-ethyl}-3-pyridin-3-yl-acrylamide;  
 N-(4-{4-[bis-(4-fluorophenyl)-methyl]-piperazin-1-yl}-but-2-in-yl)-3-pyridin-3-yl-acrylamide;  
 N-{4-[4-(4-carboxyphenyl-phenylmethyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide and  
 N-(4-{4-[(4-aminophenyl)-phenylmethyl]-piperazin-1-yl}-butyl)-3-pyridin-3-yl-acrylamide.

N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-2-(pyridin-3-yloxy)-acetamide;  
 N-{5-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide;  
 N-{6-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-acrylamide;  
 3-pyridin-3-yl-N-{4-[4-(1,2,3,4-tetrahydronaphthalin-1-yl)-piperazin-1-yl]-butyl}-acrylamide;

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3-pyridin-3-yl-N-{4-[4-(5,6,7,8-tetrahydronaphthalin-1-yl)-piperazin-1-yl]-butyl}-acrylamide and  
N-{4-[4-(naphthalin-1-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide.

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-propionamide;

N-[5-(4-biphenyl-2-yl-piperazin-1-yl)-pentyl]-3-pyridin-3-yl-acrylamide;

N-[6-(4-biphenyl-2-yl-piperazin-1-yl)-hexyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-2-(pyridin-3-yloxy)-acetamide as well as

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-5-(pyridin-3-yl)-penta-2,4-diensäureamide.

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide;

N-{5-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-pentyl}-3-pyridin-3-yl-acrylamide;

N-{6-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-hexyl}-3-pyridin-3-yl-acrylamide;

N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-5-(pyridin-3-yl)-penta-2,4-diensäureamide;

N-{4-[4-(6,11-dihydro-dibenzo[b,e]oxepin-11-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide and

N-{2-[4-(6,11-dihydrodibenzo[b,e]thiepin-11-yl)-piperazin-1-yl]-ethyl}-3-pyridin-3-yl-acrylamide.

N-[4-(4-diphenylacetyl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-[4-(4-benzoylpiperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-{4-[4-(2-aminobenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;

N-{4-[4-(4-carboxybenzoyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;

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N-{4-[4-(biphenyl-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;

N-{4-[4-(9-oxo-9H-fluoren-4-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide and

N-{4-[4-(furan-2-carbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide.

N-{4-[4-(naphthalin-1-yl-aminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-propionamide;

N-{4-[4-(diphenylaminocarbonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide;

N-{4-[4-(naphthalin-2-sulfonyl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide as well as

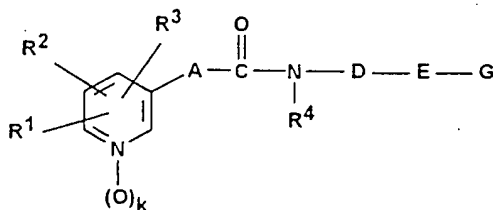
N-[4-(4-diphenylphosphinonyl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide.

N-[4-(4-biphenyl-2-yl-piperazin-1-yl)-butyl]-3-pyridin-3-yl-acrylamide;

N-{4-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide and

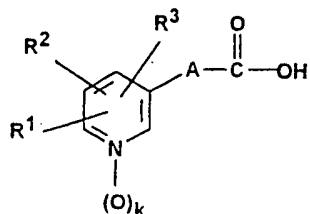
N-{4-[4-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-piperazin-1-yl]-butyl}-3-pyridin-3-yl-acrylamide.

8. Method for the production of compounds according to claims 1-7 according to formula (I)



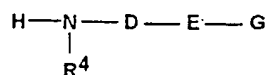
(I)

wherein  
(A) ~~characterized in that~~  
carboxylic acids of formula (II)



(II)

in which  $R^1$ ,  $R^2$ ,  $R^3$ , A and k have the meaning given above or their reactive derivatives, especially their acid chlorides or activated esters, are reacted, optionally in the presence of condensation agents, with compounds of formula (III)



(III)

wherein D, E, G and  $R^4$  are defined as in claim 1 in the form of the respective free base or the respective acid addition salt, preferably in one or more inert solvents, at a temperature between  $-40^\circ C$  and  $180^\circ C$  optionally in the presence of an auxiliary base or according to the method variant.

(B) The compounds according to the general formula (I), according to the claims 1-7 are produced in the manner that compounds of the formula (I), wherein G is hydrogen, are reacted as starting materials with a compound of formula (IV)



(IV)

in which G has the meanings given in the claims 1-7, with the exception of hydrogen, and L is a suitable nucleofuge or reactive group respectively, or according to method variant.

(B1) Compounds of formula (I), in which G, with the exception of hydrogen, has the meaning of  $G^1$  according to the definition in claim 1 can also be produced, aside from method

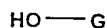
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(A), by reacting compounds of formula (I), in which G is hydrogen, with a suitable alkylation agent and/or arylation agent of formula (IV), wherein G is an alkyl-, alkenyl-, alkynyl-, cycloalkyl-, aryl-, aralkyl-, heteroaryl- or heteroaralkyl residue according to definition and the leaving group L represents a reactive derivative of an alcohol, such as a halogen atom such as chlorine, bromine or iodine or a sulfonic acid ester a methanesulfonyloxy group, trifluoromethanesulfonyloxy-, ethanesulfonyloxy-, benzene-sulfonyloxy-, p-toluenesulfonyloxy-, p-bromobenzene-sulfonyloxy-, m-nitrobenzenesulfonyloxy group or a terminal epoxide group as a reactive group, wherein this reaction occurs in a suitable inert solvent at a temperature between 0°C and 180°C, depending on the reactivity of the educt, or according to method variant.

(B2) Compounds of formula (I), in which G represents an acyl residue, a carbamoyl residue, a sulfonyl residue or a phosphinoyl residue according to the definition according to claim 1 produced in a manner by reacting compounds of formula (I), wherein G has the meaning hydrogen, with a carboxylic acid, carbamic acid, sulfonic acid and/or phosphinic acid of formula (V),

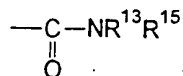


(V)

wherein G is an acyl residue, carbamoyl residue, sulfonyl residue or phosphinoyl residue according to definition, or their derivatives capable of reaction, whereby the reaction of reactive derivatives with compounds (I), in which G is hydrogen, preferably occurs in the presence of auxiliary bases in solvents and under conditions as they are described in method (A); or according to method variant.

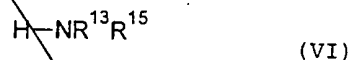
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(B3) Compounds of formula (I), wherein G is a carbamoyl residue according to the definition (G2b) with  $r = 0$  in the form of the group



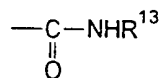
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are produced in the manner of reacting compounds of formula (I), in which G is hydrogen, with a carbonyl group transmitter to an intermediate product and subsequently reacting this directly with a primary or secondary amine with the formula (VI)



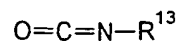
wherein  $\text{R}^{13}$  and  $\text{R}^{15}$  and/or the grouping  $\text{---NR}^{13}\text{R}^{15}$  have the meanings given in claim 1 or 2 without purifying or isolating the intermediate product, preferably compound (VI) is added in a stoichiometric amount or in excess as a solution or a solid and the reaction is completed, whereby the reaction temperatures lie between  $-40^{\circ}\text{C}$  and  $50^{\circ}\text{C}$  for the first partial reaction and between  $0^{\circ}\text{C}$  and  $150^{\circ}\text{C}$  for the second partial reaction, or according to method variant.

(B4) Compounds of formula (I), wherein G is a carbamoyl residue according to the definition (G2b) with  $r = 0$  and  $\text{R}^{15} = \text{hydrogen}$ , in the group



are produced in a manner that compounds of formula (I) according to claim 1 in which G is hydrogen, are reacted with an isocyanate of formula (VII)

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(VII)

in which  $R^{13}$  has the meaning given in claim 1, in an absolute, inert solvent at a temperature from  $-20^{\circ}\text{C}$  to  $150^{\circ}\text{C}$ .

9. Compound or compound mixture according to one of claims ~~1 to 7~~ for use in a therapeutic method for treatment of the human or animal body or in a corresponding diagnosis method.

10. Compound or compound mixture according to claim 9 for use in a therapeutic or diagnostic method, ~~characterized in that~~ <sup>wherein</sup> the therapeutic use is in connection with cancerostatic or cytostatic or immunosuppressive treatment or abnormal cell growth and/or preventing the formation of metastases and/or proliferation, optionally in connection with suitable pharmaceutically acceptable adjuvants and carriers and/or one or more further active ingredients.

11. Use of one or more compounds according to one of claims ~~1 to 7~~ for the production of a medicament for the treatment of the human or animal body in the medical indications named above in claim 10 including all compounds which are excluded according to definition in claim 1 and 2.

12. Medicament with an amount of 1 or more active ingredients according to claim ~~1 to 7~~ optionally in connection with a pharmaceutically acceptable carrier, next to toxicologically safe adjuvants, optionally in combination with other active ingredients

13. A method for the production of a medicament according to claim 12, ~~characterized in that~~ <sup>wherein</sup> one or more compounds according to one or more of claims 1 to 7 including all compounds which are excluded according to definition in claim 1 and 2 and the respective claims dependent thereon are

processed to finished medical forms with suitable pharmacologically acceptable carriers and adjuvants.

14. Medicament according to claim 12, <sup>wherein</sup> ~~characterized in that~~ it is present in a solid, peroral administrable form as a tablet, capsule, coated tablet, or as a liquid, peroral administrable solution, suspension, effervescent tablet, in the form of tabs or sachets, optionally in sustained action, and/or in gastric fluid-resistant form.

15. Medicaments according to claim 12 or 14, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a suitable injection or infusion preparation together with suitable pharmaceutically acceptable carriers and adjuvants, optionally in sustained action form and or as a parenteral depot medicinal form or implant or is used in the form of a concentrate, powder or lyophilisate and the parenteral dilution agent is optionally manufactured in the packaging separately therefrom, wherein the mixing of both compounds with each other or of the active ingredient with a common parenterally applicable dilution agent occurs immediately before use.

16. Medicament according to claim 12, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of an inhalation therapeutic agent, for example, in the form of a spray together with suitable pharmaceutically acceptable propellants, carriers and adjuvants.

17. Medicament according to claim 12, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a transdermal therapeutic system for systemic treatment.

18. Medicament according to claim 12, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a gastrointestinal therapeutic system (GITS) for systemic treatment.

19. Medicament according to claim 12, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a salve, suspension, emulsion, a

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~~in the form of a cream, ointment, balm or plaster or in the form of an externally applicable solution.~~

20. Medicament according to claim 16 for administration by means of a controlled dosage aerosol or in the form of a dry powder dosage formulation.

21. Medicament according to claim 12, <sup>wherein</sup> ~~characterized in that~~ it is present in the form of a rectal, genital, or transurethral administration emulsion, a solution, a liposomal solution, an implant, suppository or a capsule.

22. Medicament according to claim 12, ~~characterized in that~~ <sup>wherein</sup> it is present in the form of a composition capable of being applied nasally, otologically or ophthalmologically.

23. Medicament according to one of the claims 12 or 14,  
~~wherein~~  
~~characterized in that~~ it is present in the form of a buccally  
 applicable form.

24. ~~Medicament according to one of the claims 12 and 14 to 16, wherein~~  
~~characterized in that a dosage unit for single~~  
~~administration contains 0.001 or 0.01 to 2.0 mg or 0.1, 1, 2,~~  
~~5, 10, 20, 25, 30, 50, 100, 200, 300, 500, 600, 800, 1000,~~  
~~2000, 3000, 4000 or 5000 mg active ingredient according to~~  
~~the claims 1 to 7, 9 and 10.~~

25. Medicament according to claim 16, ~~characterized in that~~ <sup>wherein</sup> the pharmaceutically acceptable carrier and/or diluent is a propellant aerosol.

26. Medicament according to claim 16 or 25, ~~characterized in~~<sup>wherein</sup> ~~that~~ the propellant aerosol is tetrafluoroethane and/or heptafluoropropane and/or propane, butane, or dimethyl ether or mixtures thereof.

27. Medicament according to ~~one of the claims 16, 25 or 26,~~  
<sup>wherein</sup>  
~~characterized in that~~ the propellant aerosol contains surface  
 active adjuvants.

28. Medicament according to one of the claims 12 or 16,  
<sup>wherein</sup>  
~~characterized in that~~ it contains glucose and/or lactose as a  
 dry powder dosage.

29. Substance or substance mixture according to one of the  
<sup>wherein</sup>  
 claims 9 or 10, ~~characterized in that~~ the therapeutic use  
 occurs with a further cytostatic agent or immunosuppressive  
 agent.

30. Medicament according to ~~one of the claims 12 and 14 to~~  
<sup>wherein</sup>  
 28, ~~characterized in that~~ it is present in combination with a  
 further cytostatic agent or immunosuppressive agent,  
 optionally in the form of separate dosage units in the  
 pharmaceutical package.

31. Use of one or more compounds according to the general  
 formula (I) according to ~~claims 1 to 6 and according to claim~~  
<sup>wherein</sup>  
 7, including compounds which are excluded according to  
 definition in claims 1 and 2 for cytostatic and/or  
 cancerostatic or immunomodulatory and/or immunosuppressive  
 treatment, optionally in combination with a further  
 cytostatic agent or immunosuppressive agent and/or further  
 medicaments suitable for these indications.

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